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         AUG 06
                 FSTA enhanced with new thesaurus edition
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                 patents
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                 patents
NEWS 14 SEP 24
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NEWS 23 DEC 17 IMSDRUGCONF removed from database clusters and STN
NEWS 24 DEC 17
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NEWS 25 DEC 17 TOXCENTER enhanced with 2008 MeSH vocabulary in
                 MEDLINE segment
NEWS 26
         DEC 17 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 27
         DEC 17 CA/CAplus enhanced with new custom IPC display formats
NEWS 28 DEC 17 STN Viewer enhanced with full-text patent content
                 from USPATOLD
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         JAN 02
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         JAN 16
                 CAS patent coverage enhanced to include exemplified
                 prophetic substances
NEWS 31
         JAN 28
                 USPATFULL, USPAT2, and USPATOLD enhanced with new
                 custom IPC display formats
NEWS 32
         JAN 28 MARPAT searching enhanced
```

NEWS 33 JAN 28 USGENE now provides USPTO sequence data within 3 days of publication

NEWS 34 JAN 28 TOXCENTER enhanced with reloaded MEDLINE segment

NEWS 35 JAN 28 MEDLINE and LMEDLINE reloaded with enhancements

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AND CURRENT DISCOVER FILE IS DATED 24 JANUARY 2008

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ENTRY SESSION
0.21 0.21

FULL ESTIMATED COST

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=>

Uploading C:\Program Files\Stnexp\Queries\10578991A.str

chain nodes :

7 13 14 16 17 18 20 21 22

ring nodes :

ring/chain nodes :

15

chain bonds :

2-16 5-7 7-8 9-18 10-17 11-13 13-14 13-15 20-21 20-22

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-12 9-10 10-11 11-12

exact/norm bonds :

 $2-16 \quad 5-7 \quad 7-8 \quad 8-9 \quad 8-12 \quad 9-10 \quad 10-11 \quad 11-12 \quad 13-14 \quad 13-15 \quad 20-21 \quad 20-22$

exact bonds :

9-18 10-17 11-13

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:[*1],[*2]

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom

11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

19:Atom 20:CLASS 21:CLASS 22:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s sss l1 sam

SAMPLE SEARCH INITIATED 16:58:22 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 419 TO ITERATE

100.0% PROCESSED 419 ITERATIONS 2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 7152 TO 9608 PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> d scan

L2 2 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN 2-Furancarboxamide, 5-[1-ethyl-1-[3-ethyl-4-(2-hydroxy-3,3-dimethylbutoxy)phenyl]propyl]-N-methoxy-N-methyl-

MF C26 H39 N O5

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s sss l1 full

FULL SEARCH INITIATED 16:59:15 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 8630 TO ITERATE

100.0% PROCESSED 8630 ITERATIONS 17 ANSWERS

SEARCH TIME: 00.00.01

17 SEA SSS FUL L1 T.3

=> fil caplus

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=> s 13

L41 L3

=> d ibib abs hitstr

ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2005:493600 CAPLUS <u>Full-text</u>

143:26490 DOCUMENT NUMBER:

TITLE: Preparation of phenyl-furan derivatives as vitamin D

receptor modulators

INVENTOR(S): Gajewski, Robert Peter; Jones, Charles David; Lu,

Jianliang; Ma, Tianwei; Nagpal, Sunil; Yee, Ying Kwong

PATENT ASSIGNEE(S): Eli Lilly and Company, USA SOURCE: PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

KIND DATE APPLICATION NO. PATENT NO. DATE -----____ _____

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WO 2005051936
                                 20050609
                                            WO 2004-US35527
                                                                    20041116
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             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,
             SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
     CA 2546729
                                20050609
                                            CA 2004-2546729
                                                                    20041116
                          Α1
     EP 1692123
                                20060823
                                            EP 2004-810027
                                                                    20041116
                          Α1
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS
     JP 2007512326
                          Τ
                                20070517
                                            JP 2006-541190
                                                                    20041116
     US 2007105951
                                             US 2006-578991
                          Α1
                                 20070510
                                                                    20060511
PRIORITY APPLN. INFO.:
                                             US 2003-524015P
                                                                 Ρ
                                                                    20031120
                                             WO 2004-US35527
                                                                   20041116
OTHER SOURCE(S):
                         CASREACT 143:26490; MARPAT 143:26490
GΙ
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$$R^4$$
 R^4
 R^2
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 R^2
 R^2
 R^4
 R^2
 R^2

AB Title compds. I [R and R1 independently = alkyl, fluoroalkyl, or together R and R1 = (un)substituted-, (un)saturated-3- to 8-membered carbocycle; R2, R3 and R4 independently = H, halo, alkenyl, etc.; L1, L2, L3 and L4 independently = a bond, O, -C=C-, etc.; Y = Me, Et, n-Pr, etc.; Z = -C(O)-NR5R6; R5 and R6 independently = H, alkyl, haloalkyl, etc. with provisions] and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of vitamin D receptor. Thus, e.g., II was prepared by coupling of 3'-[4-hydroxy-3-methylphenyl]-3'-[5-carboxy-2- furanyl]pentane (preparation given) with ocresol and subsequent amidation using dimethylamine. The calcemic activity of I was evaluated in a Caco-2 cell co-transfection assay and it was revealed that compds. of the invention possessed EC50 values in the range of 25 to 758 nM. I as modulator of vitamin D receptor should prove useful in the treatment of bone disease and psoriasis. Pharmaceutical compns. comprising of I are disclosed.

853018-01-6P

TΤ

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(preparation of phenyl-furan derivs. as vitamin D receptor modulators) ${\tt RN} - 853018-01-6 - {\tt CAPLUS}$

CN 2-Furancarboxamide, 5-[1-ethyl-1-[4-(2-hydroxy-3,3-dimethylbutoxy)-3-methylphenyl]propyl]-N,N-dimethyl- (CA INDEX NAME)

IT 853018-02-7P 853013-03-8P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenyl-furan derivs. as vitamin D receptor modulators) ${\tt RN} - 853018-02-7 - {\tt CAPLUS}$

CN 2-Furancarboxamide, 5-[1-ethyl-1-[4-[(2R)-2-hydroxy-3,3-dimethylbutoxy]-3-methylphenyl]propyl]-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 853018-03-8 CAPLUS

CN 2-Furancarboxamide, 5-[1-ethyl-1-[4-[(2S)-2-hydroxy-3,3-dimethylbutoxy]-3-methylphenyl]propyl]-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.

IT 853018-00-5P

RN

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of phenyl-furan derivs. as vitamin D receptor modulators) 853018-00-5 CAPLUS

IT 853018-04-9P 853018-05-0P 853018-06-1P 853018-08-3P 853018-09-4P 853018-10-7P 853018-11-8P 853018-12-9P 853018-13-0P 853018-14-1P 853018-26-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenyl-furan derivs. as vitamin D receptor modulators) 853018-04-9 CAPLUS

CN 2-Furancarboxamide, 5-[1-[4-(3,3-dimethyl-2-oxobutoxy)-3-(1-methylpropyl)phenyl]-1-ethylpropyl]-N,N-dimethyl- (CA INDEX NAME)

RN 853018-05-0 CAPLUS

RN

CN 2-Furancarboxamide, 5-[1-[4-(3,3-dimethyl-2-oxobutoxy)-3-methylphenyl]-1-ethylpropyl]-N-ethyl- (CA INDEX NAME)

RN 853018-06-1 CAPLUS

CN 2-Furancarboxamide, 5-[1-[4-(3,3-dimethyl-2-oxobutoxy)-3-methylphenyl]-1-ethylpropyl]-N,N-diethyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ \text{Et} & & \\ \end{array} \\ \text{Et} & \begin{array}{c} \text{Me} & \\ \text{O-CH}_2-\text{C-Bu-t} \\ \end{array} \\ \\ \text{Et} & \begin{array}{c} \text{O} & \\ \text{Et} \\ \end{array} \\ \end{array}$$

RN 853018-08-3 CAPLUS

CN 2-Furancarboxamide, N-ethyl-5-[1-ethyl-1-[4-(2-hydroxy-3,3-dimethylbutoxy)-3-methylphenyl]propyl]-N-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} & \bigcirc & \text{CH}_2 - \text{CH} = \text{Bu-t} \\ \text{Et-N-C} & \bigcirc & \text{Et} & \\ \end{array}$$

RN 853018-09-4 CAPLUS

CN 2-Furancarboxamide, 5-[1-ethyl-1-[3-ethyl-4-(2-hydroxy-3,3-dimethylbutoxy)phenyl]propyl]-N,N-dimethyl-, (+)- (CA INDEX NAME)

Rotation (+).

$$\text{Me}_2\text{N} \xrightarrow{\text{O}} \text{Et} \text{Et}$$

RN 853018-10-7 CAPLUS

CN 2-Furancarboxamide, 5-[1-ethyl-1-[3-ethyl-4-(2-hydroxy-3,3-dimethylbutoxy)phenyl]propyl]-N,N-dimethyl-, (-)- (CA INDEX NAME)

Rotation (-).

RN 853018-11-8 CAPLUS

CN 2-Furancarboxamide, 5-[1-ethyl-1-[4-(2-hydroxy-3,3-dimethylbutoxy)-3-propylphenyl]propyl]-N,N-dimethyl- (CA INDEX NAME)

RN 853018-12-9 CAPLUS

CN 2-Furancarboxamide, 5-[1-ethyl-1-[3-ethyl-4-(2-hydroxy-3,3-dimethylbutoxy)phenyl]propyl]-N-methoxy-N-methyl- (CA INDEX NAME)

RN 853018-13-0 CAPLUS

CN 2-Furancarboxamide, 5-[1-ethyl-1-[4-(2-ethyl-2-hydroxybutoxy)-3-methylphenyl]propyl]-N,N-dimethyl- (CA INDEX NAME)

RN 853018-14-1 CAPLUS

CN 2-Furancarboxamide, 5-[1-ethyl-1-[4-(2-hydroxy-3,3-dimethylbutoxy)-3-(1-methylethyl)phenyl]propyl]-N,N-dimethyl- (CA INDEX NAME)

RN 853018-26-5 CAPLUS

CN 2-Furancarboxamide, 5-[1-ethyl-1-[3-ethyl-4-(2-hydroxy-3,3-dimethylbutoxy)phenyl]propyl]-N,N-dimethyl- (CA INDEX NAME)

IT 853018-17-4P 853018-21-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of phenyl-furan derivs. as vitamin D receptor modulators)

RN 853018-17-4 CAPLUS

CN 2-Furancarboxamide, 5-[1-ethyl-1-(4-hydroxy-3-methylphenyl)propyl]-N,N-

RN 853018-21-0 CAPLUS

CN 2-Furancarboxamide, 5-[1-ethyl-1-[4-hydroxy-3-(1-methylpropyl)phenyl]propyl]-N,N-dimethyl- (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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